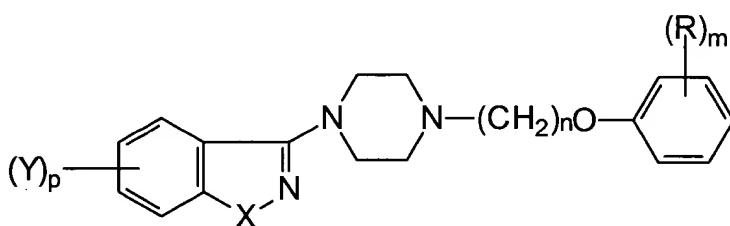


1. (Amended) A compound of the formula

$$\left[\text{---} (\text{Y})_p - \text{C}_6\text{H}_4 - \text{X} = \text{N} - \text{C}_6\text{H}_4 - \text{N}(\text{C}_6\text{H}_{11}) - (\text{R}_1)\text{O} - \text{C}_6\text{H}_4 - \overset{(\text{R})_m}{\text{C}_6\text{H}_4} \right]$$



wherein

X is -O-, -S-, -NH-, [-N(R₂)], or -N(R₂);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3 -

C_{10})cycloalkyl, aryl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[(R₁) is R₂₀, R₂₁, or R₂₂, wherein:

R₂₀ is -(CH₂)_n-, where] n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

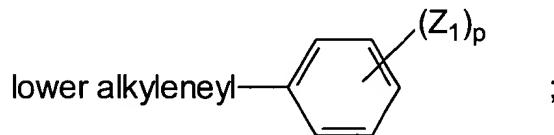
-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted

by at least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, p is as previously defined;]

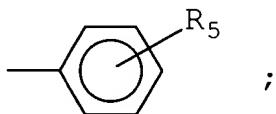
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

a'

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or
-CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

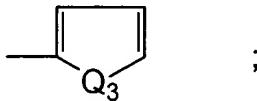
alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉,]

R₇ is hydrogen, lower alkyl, or [alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

A'

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

[C₁=14 C₄] C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy,

or -COOR₂₃ where R₂₃ is C₁-C₄ alkyl;

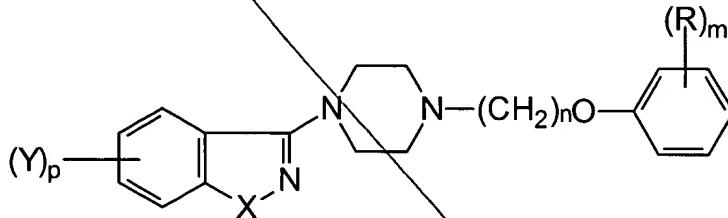
with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof.

A2
B4

25. (Amended) A compound of the formula:



wherein

X is -O-, -S-, -NH-, [-N-R₂] or -N(R₂);

p is 1 or 2;

Y is hydrogen, Cl, Br, or F when p is 1;

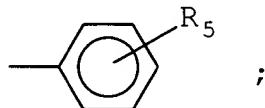
A²
B⁴
Cont

X is lower alkoxy [or halogen] when p is 2 and X is -O-;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

(C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



;

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, acyl, (C₂-C₁₁) alkanoyl, Cl, F, Br, I,

amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

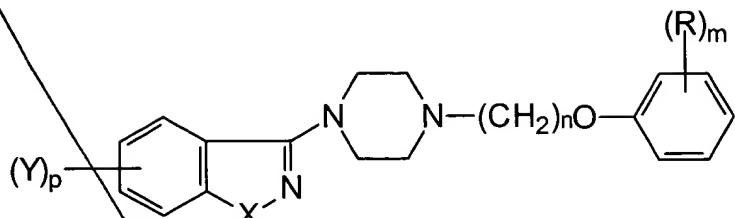
C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃,

where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

26. (Amended) A compound of the formula:



wherein X is -O-;

p is 1 or 2;

Y is hydrogen, hydroxy, Cl, Br, or F, when p is 1;

Y is lower alkoxy[, hydroxy, or halogen] when p is 2;

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, acyl, (C₂-C₁₁) alkanoyl, Cl, F, Br, I,

amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

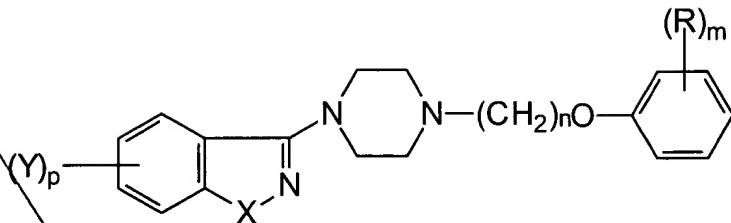
with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₄ alkyl,

chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃ where R₂₃ is

C₁-C₄ alkyl;

or a pharmaceutically acceptable acid addition salt thereof.

27. (Amended) A compound of the formula:



wherein X is -S-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, acyl, (C₂-C₁₁) alkanoyl, Cl, F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

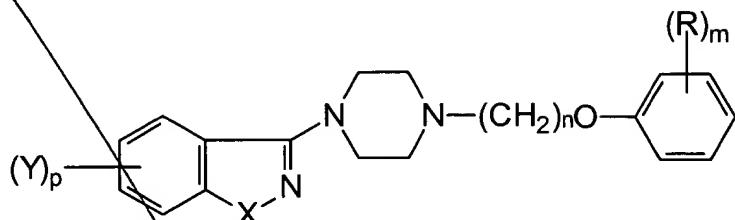
with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃ where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein R is H, and m=1;

A²
B⁴
cont

or a pharmaceutically acceptable acid addition salt thereof.

28. (Amended) A compound of the formula:



wherein X is $-NH-$;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, hydroxyl, acyl, (C_2-C_{11}) alkanoyl, Cl, F, Br, I, amino, C_1-C_3 mono- or dialkylamino, acylamino, $-NO_2$, $-OCF_3$, $-CF_3$, $-C(=O)$ -alkyl, or $-CH(O R_7)$ -alkyl;

alkyl is lower alkyl;

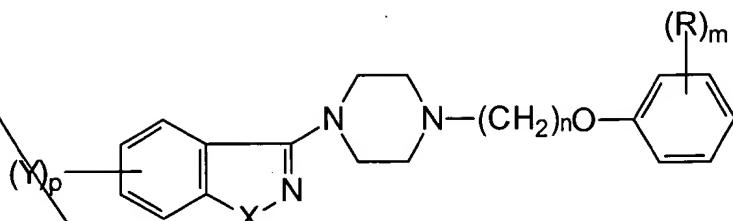
R_7 is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

A²
B⁴
cont

29. (Amended) A compound of the formula:



wherein X is -N-R₂;

p is 1 [or 2];

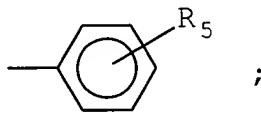
Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁) [aroyl] alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, acyl, (C₂-C₁₁) alkanoyl, Cl, F, Br, I,

a²
B⁴
cont

amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl;

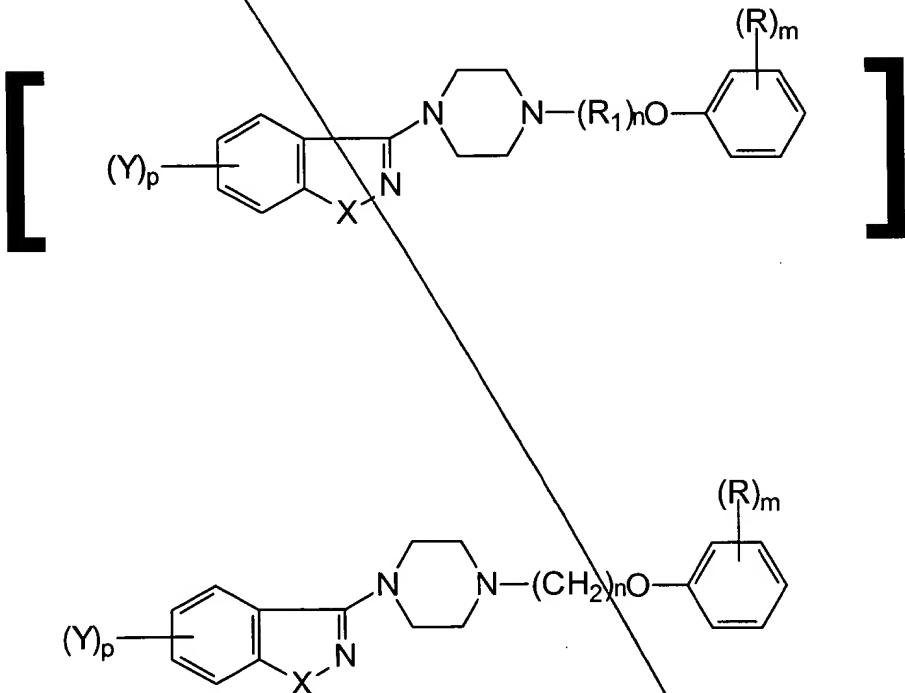
alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

30. (Amended) A pharmaceutical composition, which comprises a compound of the formula:



A2
B4
Cont

wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-:

[(R₁) is R₂₀, R₂₁, or R₂₂, wherein:

R₂₀ is -(CH₂)_n-, where] n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-C=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂- or

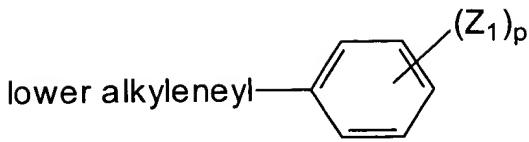
-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ iS R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or

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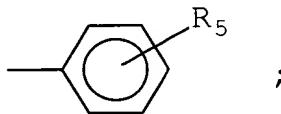


where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl,];

alkyl is lower alkyl;

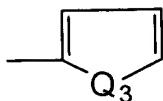
aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

A 2
B 4
cont



Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;]

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,
-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

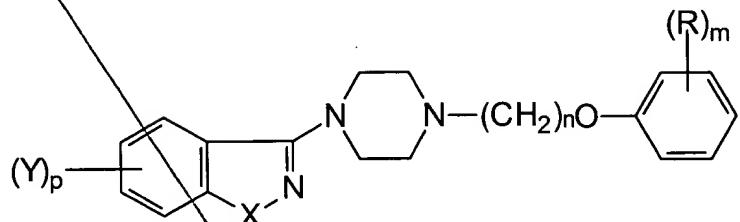
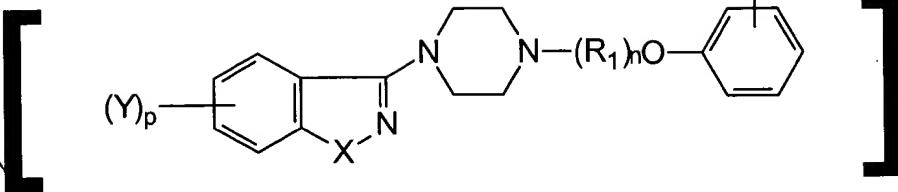
where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.

31. (Amended) An antipsychotic composition, which comprises a compound of the formula:

A 2
B 4
Cont



wherein

X is -O-, -S-, -NH-, or -N(R_2);

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, C_3-C_{10} cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

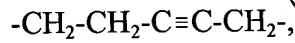
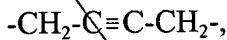
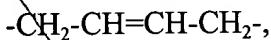
A²
B⁴
cont

Y is lower alkoxy when p is 2 and X is -O-;

[(R_1) is R_{20} , R_{21} , or R_{22} , wherein:

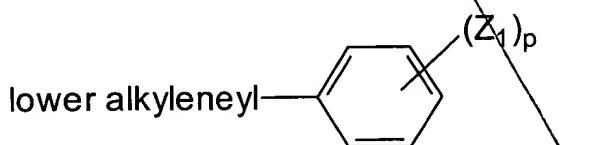
R_{20} is $-(CH_2)_n-$, where] n is 2, 3, 4 or 5;

[R_{21} is



the $-CH=CH-$ bond being cis or trans;

R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1-C_6 linear alkyl group, phenyl group or



where Z_1 is lower alkyl, -OH, lower alkoxy, $-CF_3$, $-NO_2$, $-NH_2$ or halogen, a p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

*A*²
*B*⁴
cont

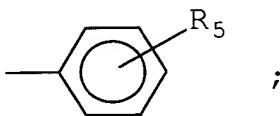
aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or

-CH(OR₇)-alkyl[,], [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl,]

alkyl is lower alkyl;

aryl is phenyl or



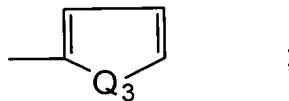
;

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉,]

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

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a
B
cont

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

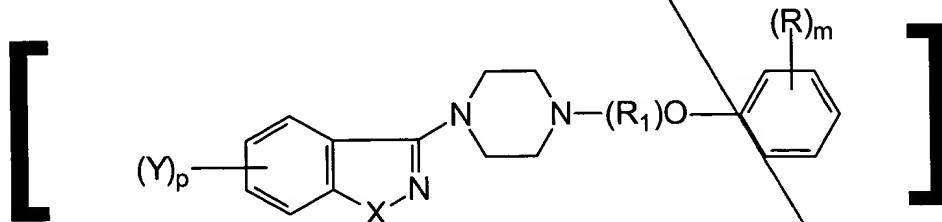
with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid

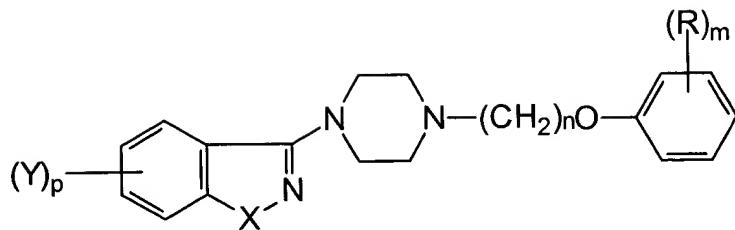
addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

and a pharmaceutically acceptable carrier therefor.

32. (Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound of the formula:



*A²
B⁴
cont*



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-:

[R₁] is R₂₀, R₂₁, or R₂₂, wherein:

R₂₀ is -(CH₂)_n-, where] n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

a²
B⁴
cont

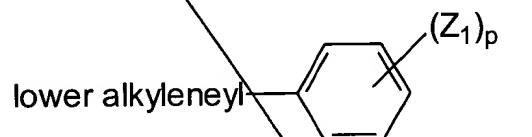
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂- or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or

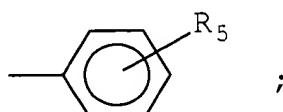


where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl[.], [-C(W)-alkyl, -C(W)-aryl, or -C(W)-heteroaryl.];

alkyl is lower alkyl;

aryl is phenyl or



A²
B⁴
cont

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



;

Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉;]

R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

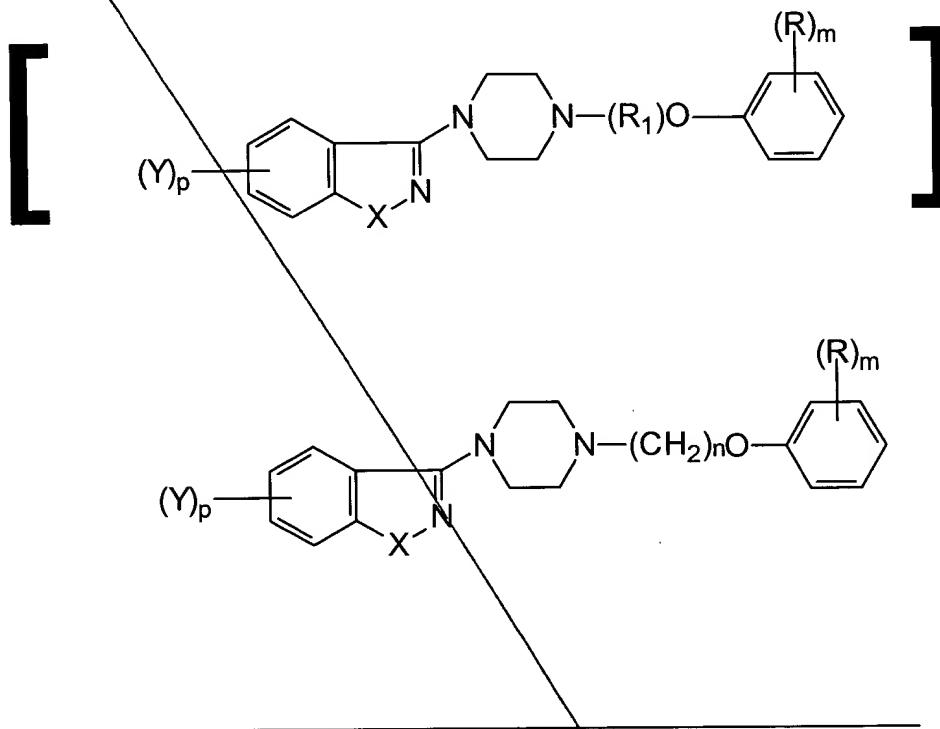
where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;

A2
B4
cont

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof.

33. (Amended) An analgesic composition, which comprises a compound of the formula:



wherein

X is -O-, -S-, -NH-, or -N(R₂);

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R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

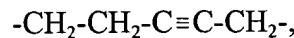
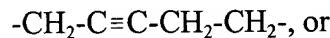
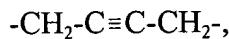
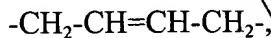
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when *p* is 2 and *X* is -O-;

[(R₁) is R₂₀, R₂₁, or R₂₂, wherein:

R₂₀ is -(CH₂)_n-, where] n is 2, 3, 4 or 5;

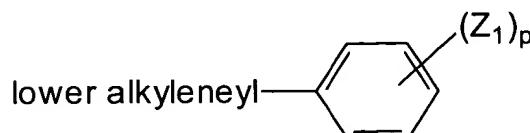
[R₂₁ is



the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted

by at least one C₁-C₆ linear alkyl group, phenyl group or



A²
B⁴
cont

where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

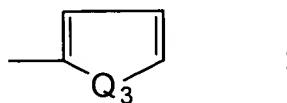
alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



A²
B⁴
cont

Q_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

[W is CH_2 or CHR_8 or $N-R_9$;]

R_7 is hydrogen, lower alkyl, or [(C_2-C_{11}) alkanoyl] acyl;

[R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

$-C(=O)$ -aryl or $-C(=O)$ -heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$

where R_{23} is C_1-C_4 alkyl;

with the exclusion of compounds wherein X is $-S-$, [R_1 is R_{20} ,] R is H, and $m=1$;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce a pain-relieving effect,

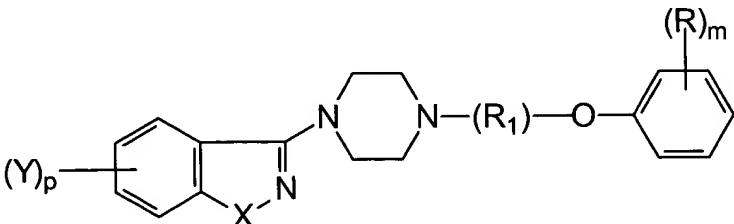
and a pharmaceutically acceptable carrier therefor.

a³

34. (Amended) A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a [compound] composition as claimed in claim 33.

Please add the following new claims:

46. A compound of the formula



*Sub
C7*

wherein

X is -O-, -S-, -NH-, or -N(R_2)-

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3 -

C_{10})cycloalkyl, aroyl, (C_2-C_{11})alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is

$-CH_2-CH=CH-CH_2-$

$-CH_2-C\equiv C-CH_2-$

$-CH_2-CH=CH-CH_2-CH_2-$

$-CH_2-CH_2-CH=CH-CH_2-$

Sub C7

~~-CH₂-C≡C-CH₂-CH₂- or~~

~~-CH₂-CH₂-C≡C-CH₂-~~

~~the -CN=CH- bond being cis or trans;~~

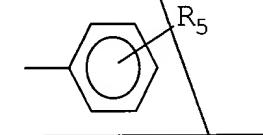
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

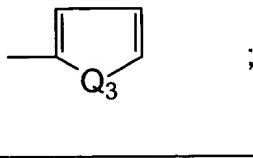
where alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxyl, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



at 4
where O_3 is $-O-$, $-S-$, $-NH-$, or $-CH=N-$;

Sub C7
W is CH_2 , or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

$-C(=O)$ -aryl, or $-C(=O)$ -heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$.

where R_{23} is C_1-C_4 alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof.

A4
47. A compound as claimed in claim 46, wherein X is $-O-$, $-S-$, or $-NH-$.

48. A compound as claimed in claim 46, wherein Y is hydrogen, chlorine, bromine, or fluorine.

49. A compound as claimed in claim 46, wherein n is 2, 3, or 4.

a4
50. A compound as claimed in claim 46, wherein X is -O-.

51. A compound as claimed in claim 46, wherein X is -S-.

52. A compound as claimed in claim 46, wherein X is -NH-.

53. A compound as claimed in claim 46, wherein X is -N(R₂).

Sub C8
54. A compound as claimed in claim 46, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, -CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, acyl, C₁-C₃ monoalkylamino, acylamino, -NO₂, -OCF₃, -CF₃; and n is 2, 3, or 4.

55. A compound as claimed in claim 54, wherein the substituent Y is in the 5- or 6-position.

56. A compound as claimed in claim 55, wherein m is 2.

57. A compound as claimed in claim 55, wherein n is 3.

58. A compound as claimed in claim 55, wherein p is 1.

A⁴

59. A pharmaceutical composition, which comprises a compound as claimed in claim 46, and a pharmaceutically acceptable carrier therefor.

60. An antipsychotic composition which comprises a compound as claimed in claim 46, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

61. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 46.

62. An analgesic composition which comprises a compound as claimed in claim 46, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

63. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 46.

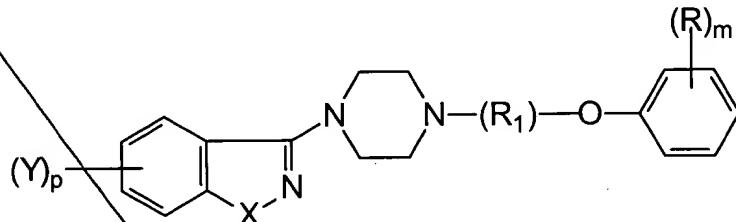
64. The compound of claim 46, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

a4

65. The compound of claim 64, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

66. A compound of the formula

Sub C9



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

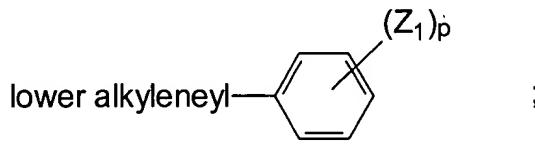
p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-

(R_N) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at

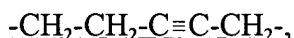
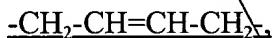
least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH, or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is



the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine,

iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,

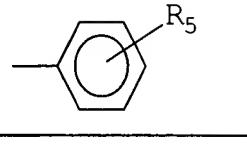
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

A4
Sub
C4

where alkyl is lower alkyl;

aryl is phenyl or

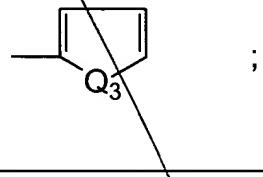


where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH_2 or CHR_8 or $\text{N}-\text{R}_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-\text{NHR}_{10}$; and

R_{10} is hydrogen, lower alkyl, $\text{C}_1\text{-}\text{C}_3$ acyl, aryl,

$-\text{C}(=\text{O})\text{-aryl}$, or $-\text{C}(=\text{O})\text{-heteroaryl}$,

where aryl and heteroaryl are as defined above; and

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DA
Sub
C9

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$

where R_{23} is C_1-C_4 alkyl;

with the exclusion of compounds wherein X is $-S-$, R_1 is R_{20} , R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof.

67. A compound as claimed in claim 66, wherein X is $-O-$, $-S-$, or $-NH-$.

68. A compound as claimed in claim 66, wherein Y is hydrogen, chlorine, bromine, or fluorine.

69. A compound as claimed in claim 66, wherein n is 2, 3, or 4.

70. A compound as claimed in claim 66, wherein X is $-O-$.

71. A compound as claimed in claim 66, wherein X is $-S-$.

72. A compound as claimed in claim 66, wherein X is $-NH-$.

73. A compound as claimed in claim 66, wherein X is $-N(R_2)$.

J4 Sub
C10

74. A compound as claimed in claim 66, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, -CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, acyl, C₁-C₃ monoalkylamino, acylamino, -NO₂, -OCF₃, -CF₃; and n is 2, 3, or 4.

75. A compound as claimed in claim 74, wherein the substituent Y is in the 5- or 6-position.

76. A compound as claimed in claim 75, wherein m is 2.

77. A compound as claimed in claim 75, wherein n is 3.

78. A compound as claimed in claim 75, wherein p is 1.

79. A pharmaceutical composition, which comprises a compound as claimed in claim 66, and a pharmaceutically acceptable carrier therefor.

80. An antipsychotic composition which comprises a compound as claimed in claim 66, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

81. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 66.

C4

82. An analgesic composition which comprises a compound as claimed in claim 66, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

83. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 66.

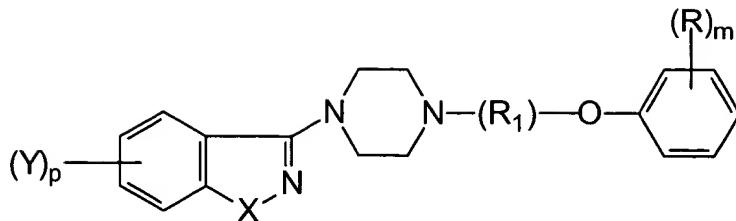
84. The compound of claim 66, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.

85. The compound of claim 84, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of hydrochloric acid, sulfuric acid, nitric acid, acetic acid, propionic acid, maleic acid, fumaric acid, carboxysuccinic acid, and citric acid.

86. A pharmaceutical composition, which comprises a compound of the formula

*Sub
CII*

Act 4
Sub
C 11



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

AA

-CH₂-CH₂-CH=CH-CH₂-

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-

the -CN=CH- bond being cis or trans;

*Sub
C 11*

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

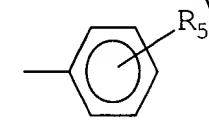
aminocarbonyl, dialkylaminocarbonyl, formyl,

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

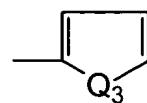


where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxyl, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



Q4

where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

where R₂₃ is C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

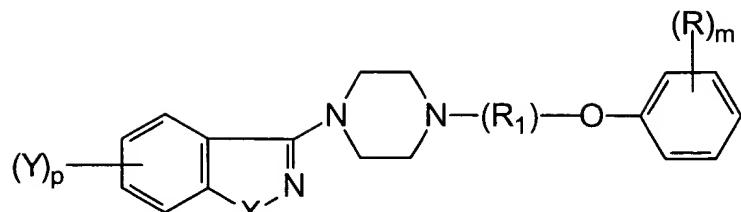
addition salt thereof, and a pharmaceutically acceptable carrier therefor.

87. A pharmaceutical composition, which comprises a compound of the formula

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34
Sub
C 11



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3-$

$\text{C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2-\text{C}_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

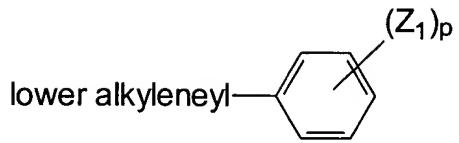
(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at

least one C_1-C_6 linear alkyl group, phenyl group or

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24

Sub
C 11



where Z_1 is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R_{20} is $-(CH_2)_n-$, where n is 2, 3, 4 or 5;

R_{21} is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

$-CH_2-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-CH_2-$, or

$-CH_2-CH_2-C\equiv C-CH_2-$,

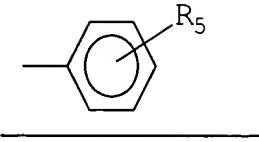
the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, $-C(=O)$ -alkyl, $-C(=O)-O$ -alkyl, $-C(=O)$ -aryl, $-C(=O)$ -heteroaryl, $-CH(OR_7)$ -alkyl, $-C(=W)$ -alkyl, $-C(=W)$ -aryl, or $-C(=W)$ -heteroaryl;

*Q4
Sub
C11*

where alkyl is lower alkyl;

aryl is phenyl or

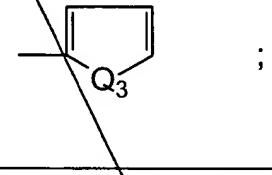


where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl.

where aryl and heteroaryl are as defined above; and

J4
Sub C11

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C_1 - C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1 - C_4 alkoxy, or -COOR₂₃,

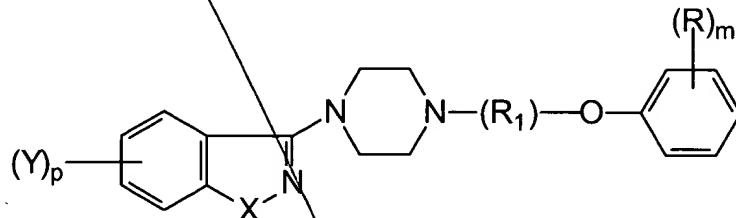
where R₂₃ is C_1 - C_4 alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

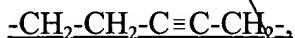
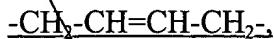
p is 1 or 2;

Q4
Sub CII

X is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,
trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

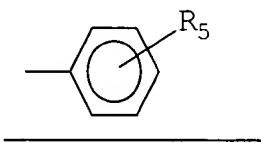


the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,
bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl
thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
aminocarbonyl, dialkylaminocarbonyl, formyl,
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,
-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

where alkyl is lower alkyl;

aryl is phenyl or

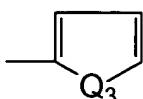


Q3
Sub C11

where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



;

where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂, or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl, -C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen.

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

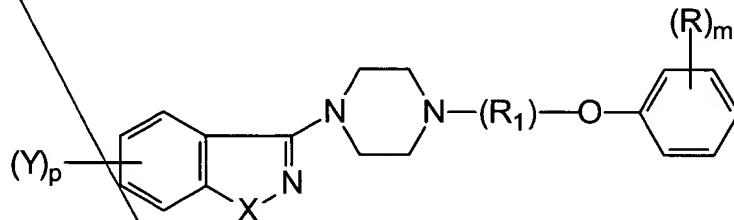
where R₂₃ is C₁-C₄ alkyl;

C4
Sub
C11

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,
and a pharmaceutically acceptable carrier therefor.

89. An antipsychotic composition, which comprises a compound of the formula



wherein

X is $-O-$, $-S-$, $-NH-$, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

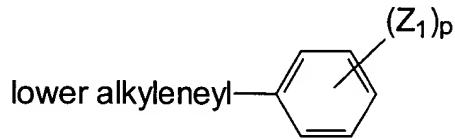
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-O-$;

(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at

QF
Sub
C 11

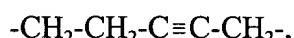
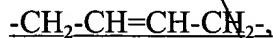
least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_{n-1}, where n is 2, 3, 4 or 5;

R₂₁ is



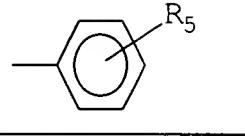
the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

*A
Sub
CII*

where alkyl is lower alkyl;

aryl is phenyl or

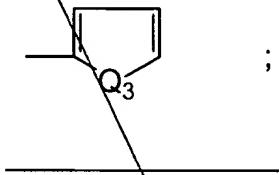


where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,

iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl,

trifluoromethoxy;

heteroaryl is



where Q_3 is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

Gf
Sub
C 11

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$

where R_{23} is C_1-C_4 alkyl;

with the exclusion of compounds wherein X is $-S-$, R_1 is R_{20} , R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce an antipsychotic effect,

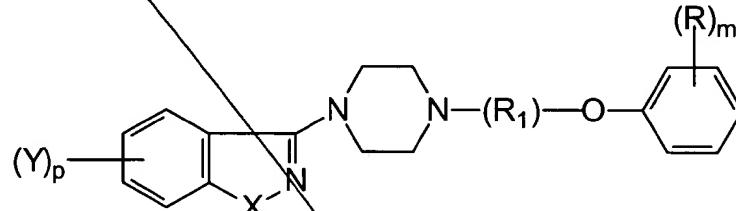
and a pharmaceutically acceptable carrier therefor.

90. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a composition as claimed in claim 88.

91. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a composition as claimed in claim 89.

Sub
C 12

92. An analgesic composition, which comprises a compound of the formula



Sub 4
Sub 6
C 12

wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-

(C₁₀)cycloalkyl, aroyl, (C₂-C₁₁)alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl,

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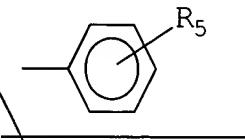
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WASHINGTON, DC 20005
202-408-4000

gA
Sub
C12

-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,
-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

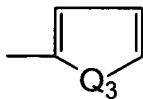
where alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

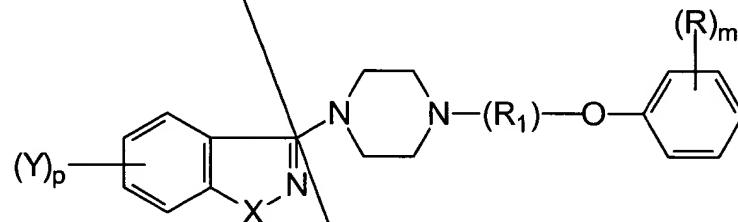
R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

*DA
Sub
C12*

C(=O)-aryl, or -C(=O)-heteroaryl,
where aryl and heteroaryl are as defined above; and
m is 1, 2, or 3;
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,
 C_1-C_4 alkyl, chlorine, fluorine, bromine, iodine, cyano, C_1-C_4 alkoxy, or $-COOR_{23}$
where R_{23} is C_1-C_4 alkyl;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid
addition salt thereof, in an amount sufficient to produce a pain-relieving effect,
and a pharmaceutically acceptable carrier therefor.

93. An analgesic composition, which comprises a compound of the formula



wherein

X is $-O-$, $-S-$, $-NH-$, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-

Q4

C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is defined hereinafter;

p is 1 or 2;

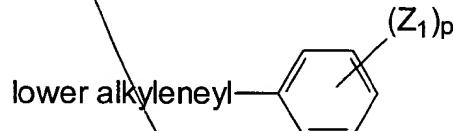
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁, in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at

least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-

-CH₂-C≡C-CH₂-

-CH₂-CH=CH-CH₂-CH₂-

-CH₂-CH₂-CH=CH-CH₂-

-CH₂-C≡C-CH₂-CH₂- or

-CH₂-CH₂-C≡C-CH₂-

Q4

Sub

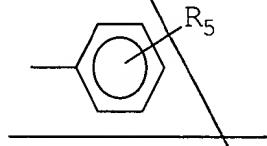
C12

the -CH=CH- bond being cis or trans:

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

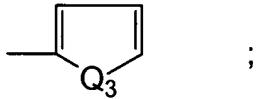
where alkyl is lower alkyl,

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxyl, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



where Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

✓
Sub C 12
R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃,

where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof, in an amount sufficient to produce a pain-relieving effect,

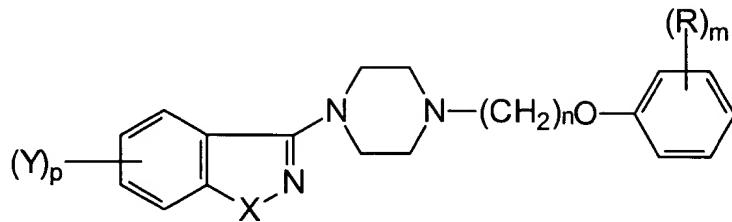
and a pharmaceutically acceptable carrier therefor.

94. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a composition as claimed in claim 92.

95. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a composition as claimed in claim 93.

96. A compound of the formula

A 4



wherein

X is $-O$ -, $-S$ -, $-NH$ -, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(C_3-$

C_{10})cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy,

trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-O$;

n is 2, 3, 4 or 5;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl, $-C(=O)$ -alkyl, $-C(=O)-O$ -alkyl,

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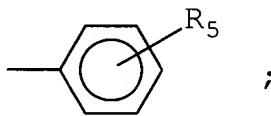
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202-406-4000

A4

-C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl; -C(=W)-alkyl,
-C(=W)-aryl, or -C(=W)-heteroaryl;

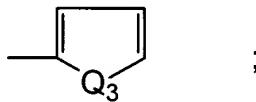
alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine,
iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano,
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH, or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

R⁴

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of

dialkylaminocarbonyl, formyl, -C(=W)-alkyl, -C(=W)-aryl, and

-C(=W)-heteroaryl;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen,

C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃,

where R₂₃ is C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid

addition salt thereof.

97. A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-.

98. A compound as claimed in claim 96, wherein Y is hydrogen, chlorine, bromine, or fluorine.

99. A compound as claimed in claim 96, wherein n is 2, 3, or 4.

a4

100. A compound as claimed in claim 96, wherein X is -O-.

101. A compound as claimed in claim 96, wherein X is -S-.

102. A compound as claimed in claim 96, wherein X is -NH-.

103. A compound as claimed in claim 96, wherein X is -N(R₂).

*Sub
Cl 3*

104. A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, -CF₃; R is selected from the group consisting of hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, acyl, C₁-C₃ monoalkylamino, acylamino, -NO₂, -OCF₃, -CF₃; and n is 2, 3, or 4.

105. A compound as claimed in claim 104, wherein the substituent Y is in the 5- or 6-position.

106. A compound as claimed in claim 105, wherein m is 2.

107. A compound as claimed in claim 105, wherein n is 3.

108. A compound as claimed in claim 105, wherein p is 1.

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A⁴

109. A pharmaceutical composition, which comprises a compound as claimed in claim 96, and a pharmaceutically acceptable carrier therefor.

110. An antipsychotic composition which comprises a compound as claimed in claim 96, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

111. A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 96.

112. An analgesic composition which comprises a compound as claimed in claim 96, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

113. A method of alleviating pain, which comprises administering to a mammal a pain-relieving effective amount of a compound as claimed in claim 96.

114. The compound of claim 96, wherein said pharmaceutically acceptable acid addition salt is selected from the group consisting of salts of mineral acids, salts of monobasic carboxylic acids, salts of dibasic carboxylic acids, and salts of tribasic carboxylic acids.